Response to May 16, 2006 Office Action Serial No. 10/722,702 CVT No. 01-157-CIP

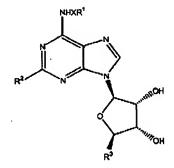
APPENDIX A

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1. A compound of the formula:



Formula I

wherein:

R¹ is lower alkyl of 1-6 carbon atoms, cycloalkyl of 3-6 carbon atoms, or phenyl optionally substituted by halo;

X is a covalent bond or alkylene of 1-3 carbon atoms;

R² is R⁴-Z-Y-C=C- in which Y is alkylene of 1-3 carbon atoms, Z is oxygen, sulfur or -NH-, and R⁴ is phenyl optionally substituted by halo or lower alkoxy; or

R² is pyrazolyl optionally substituted by phenyl or benzyl, which are optionally substituted by halo, lower alkyl, or lower alkoxy, or;

R² is pyrazolyl substituted by (lower alkyl)-O-C(O)-, -C(O)NH₂, -C(O)NH-(lower alkyl), cycloalkyl of 3-6 carbon atoms, pyrimidinyl, pyridinyl, benzoxazolyl, quinazolyl, isoquinazolyl, or pyrazolyl, said pyrimidinyl, pyridinyl, benzoxazolyl, quinazolyl, isoquinazolyl, or pyrazolyl all of which are optionally substituted by 1, 2 or 3 lower alkyl groups; and

R³ is hydroxymethyl or -C(O)-NR⁵R⁶; in which R⁵ and R⁶ are independently hydrogen or lower alkyl.

2. The compound of claim 1, wherein R² is pyrazol-1-yl substituted by phenyl, which is optionally substituted by halo, lower alkyl, or lower alkoxy.

Page 15

- 3. The compound of claim 2, wherein R^1 is lower alkyl of 1-6 carbon atoms or phenyl optionally substituted by halo, and R^3 is hydroxymethyl.
- 4. (canceled)

11:44

- (canceled)
- 6. The compound of claim 3, wherein R¹ is lower alkyl of 1-6 carbon atoms and X is a covalent bond.
- 7. The compound of claim 6, wherein R¹ is methyl and R² is 4-(4-methoxyphenyl)pyrazol-1-yl, namely (4S,2R,3R,5R)-5-(hydroxymethyl)-2-{2-[4-(4-methoxyphenyl)pyrazolyl]-6-(methylamino)purin-9-yl}oxolane-3,4-diol.
- 8. The compound of claim 6, wherein R¹ is n-propyl and R² is 4-(4-methoxyphenyl)pyrazol-1-yl, namely (4S,2R,3R,5R)-5-(hydroxymethyl)-2-{2-[4-(4-methoxyphenyl)pyrazolyl]-6-(n-propylamino)purin-9-yl}oxolane-3,4-diol.
- 9. The compound of claim 6, wherein R¹ is methyl and R² is 4-(4-chlorobenzylaminocarbonyl)pyrazol-1-yl, namely (1-{9-[(4S,2R,3R,5R)-3,4-dihydroxy-5-(hydroxymethyl)oxolan-2-yl]-6-(methylamino)purin-2-yl}pyrazol-4-yl)-N-(4-chlorophenyl)carboxamide.
- 10. The compound of claim 6, wherein R¹ is methyl and R² is 4-(4-chlorobenzylaminocarbonyl)pyrazol-1-yl, namely (1-{9-[(4S,2R,3R,5R)-3,4-dihydroxy-5-(hydroxymethyl)oxolan-2-yl]-6-(methylamino)purin-2-yl}pyrazol-4-yl)-N-(4-chlorophenyl)carboxamide.

Response to May 16, 2006 Office Action Scrial No. 10/722,702 CVT No. 01-157-CIP

- 11. The compound of claim 1, wherein R¹ is lower alkyl of 1-6 carbon atoms, R² is pyrazo-1-yl substituted by (lower alkyl)-O-C(O)-, -C(O)NH₂, -C(O)NH-(lower alkyl), cycloalkyl of 3-6 carbon atoms, pyrimidinyl, pyridinyl, benzoxazolyl, quinazolyl, isoquinazolyl, or pyrazolyl, said pyrimidinyl, pyridinyl, benzoxazolyl, quinazolyl, isoquinazolyl, and pyrazolyl being optionally substituted by 1, 2 or 3 lower alkyl groups, R³ is hydroxymethyl, and X is a covalent bond.
- 12. The compound of claim 11, wherein R¹ is n-propyl and R² is 4-(pyrid-2-yl)pyrazol-1-yl, namely (4S,2R,3R,5R)-5-(hydroxymethyl)-2-[4-(pyridin-2-yl)pyrazolyl]-6-(n-propylamino)purin-9-yl}oxolane-3,4-diol.
- 13. The compound of claim 5, wherein R¹ is phenyl optionally substituted by halo and X is methylene.
- 14. The compound of claim 13, wherein R¹ is 3-iodophenyl and R² is 4-(4-methoxyphenyl)pyrazol-1-yl, namely (4S,2R,3R,5R)-5-(hydroxymethyl)-2-{2-[4-(4-methoxyphenyl)pyrazolyl]-6-(3-iodobenzylamino)purin-9-yl}oxolane-3,4-diol.
- 15. The compound of claim 1, wherein R² is pyrazol-4-yl optionally substituted by benzyl.
- 16. The compound of claim 15, wherein R¹ is lower alkyl of 1-6 carbon atoms and R³ is hydroxymethyl.
- 17. The compound of claim 16, wherein R¹ is methyl, R² is 1-benzylpyrazol-4-yl, R³ is hydroxymethyl, and X is a covalent bond, namely (4S,2R,3R,5R)-5-(hydroxymethyl)-2-{2-[1-benzylpyrazolyl]-6-(methylamino)purin-9-yl}oxolane-3,4-diol.
- 18. The compound of claim 16, wherein R¹ is n-propyll, R² is 1-benzylpyrazol-4-yl, R³ is hydroxymethyl, and X is a covalent bond, namely (4S,2R,3R,5R)-5-

11:44

Response to May 16, 2006 Office Action Serial No. 10/722,702 CVT No. 01-157-CIP

(hydroxymethyl)-2-{2-[1-benzylpyrazolyl]-6-(n-propylamino)purin-9-yl}oxolane-3,4diol.

- The compound of claim 1, wherein R² is R⁴-Z-Y-C≡C-. 19.
- The compound of claim 19, wherein R4 is phenyl optionally substituted by halo or 20. lower alkoxy, R3 is hydroxymethyl, and Y is alkylene of 1-3 carbon atoms.
- The compound of claim 20, wherein R4 is phenyl optionally substituted by 21. methoxy or chloro, and Y is methylene.
- The compound of claim 21, wherein R1 is alkyl of 1-6 carbon atoms, X is a 22. covalent bond.
- The compound of claim 22, wherein R1 is methyl, R4 is phenyl and Z is oxygen, 23. namely 2-hydroxymethyl-5-[6-methylamino-2-(3-phenoxypropyn-1-yl)purin-9-yl]tetrahydrofuran-3,4-diol.
- A pharmaceutical composition comprising at least one pharmaceutically 27. acceptable excipient and a therapeutically effective amount of a compound of claim 1.